CLAIMS

We Claim:

1. A composition for the enhanced delivery of a local anesthetic agent through a body surface, comprising a formulation of: (a) a therapeutically effective amount of the local anesthetic agent; (b) a pharmaceutically acceptable inorganic base in an amount effective to provide a pH within the range of about 8.0-13.0 at the body surface during administration of the local anesthetic agent and to enhance the flux of the local anesthetic agent through the body surface without causing damage thereto; and (c) a pharmaceutically acceptable carrier suitable for topical or transdermal drug administration.
2. The composition of Claim 1 wherein the basic permeation enhancer is contained within an aqueous formulation.
3. The composition of Claim 2 wherein the aqueous formulation has a pH within the range of about 8.0-13.0.
4. The composition of Claim 3 wherein the pH is within the range of about 8.0-11.5.
5. The composition of Claim 4 wherein the pH is within the range of about 8.5-10.5.
6. The composition of Claim 2 wherein the aqueous formulation is selected from the group consisting of a cream, a gel, a lotion, and a paste.
7. The composition of Claim 1 wherein the composition provides for at least about 1.5-fold enhanced delivery.
8. The composition of Claim 7 wherein the composition provides for at least about 3-fold enhanced delivery.
9. The composition of Claim 1 wherein the local anesthetic agent is an acidic species.
10. The composition of Claim 9 wherein the base is present in an amount that is the total of (a) the amount required to neutralize the acidic species plus (b) an amount equal to about 0.5-4.0 wt% of the composition.
11. The composition of Claim 1 wherein the local anesthetic agent is a non-acidic species.
12. The composition of Claim 11 wherein the base is present in an amount equal to about 0.5-4.0 wt% of the composition.

13. The composition of Claim 1 wherein the base is selected from the group consisting of inorganic hydroxides, inorganic oxides, inorganic salts of weak acids, and combinations thereof.

14. The composition of Claim 13 wherein the base is an inorganic hydroxide selected from the group consisting of ammonium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide and magnesium hydroxide.

15. The composition of Claim 13 wherein the base is an inorganic oxide selected from the group consisting of magnesium oxide and calcium oxide.

16. The composition of Claim 13 wherein the base is an inorganic salt of a weak acid selected from the group consisting of ammonium phosphate, sodium acetate, sodium borate, sodium metaborate, sodium carbonate, sodium bicarbonate, sodium phosphate, potassium carbonate, potassium bicarbonate, potassium citrate, potassium acetate, and potassium phosphate.

17. The composition of Claim 1 wherein the base is effective to provide a pH within the range of about 8.0-11.5 at the localized region of the body surface during administration of the local anesthetic agent.

18. The composition of Claim 17 wherein the base is effective to provide a pH within the range of about 8.5-10.5 at the localized region of the body surface during administration of the local anesthetic agent.

19. The composition of Claim 1 wherein the local anesthetic agent is selected from the group consisting of benzocaine, benzyl benzoate, bupivacaine, calamine, chloroprocaine, chloroxylenol, cinchocaine, cocaine, dexivacaine, diamocaine, dibucaine, dyclonine, etidocaine, hexylcaine, ketamine, levobupivacaine, lidocaine, menthol, mepivacaine, oxethazaine, phenol, pramoxine, prilocaine, procaine, proparacaine, propoxycaine, pyrrocaine, resorcinol, risocaine, rodocaine, ropivacaine, tetracaine, troclosan, and pharmaceutically acceptable derivatives thereof, and combinations thereof.

20. The composition of Claim 19 wherein the local anesthetic agent is selected from the group consisting bupivacaine, chloroprocaine, dibucaine, etidocaine, levobupivacaine, lidocaine, mepivacaine, prilocaine, ropivacaine, tetracaine, and pharmaceutically acceptable derivatives thereof.

21. The composition of Claim 1 which further comprises at least one irritation-mitigating

additive.

22. A system for the enhanced topical or transdermal administration of a local anesthetic agent, comprising: (a) at least one drug reservoir containing the local anesthetic agent and a pharmaceutically acceptable inorganic base, in an amount effective to enhance the flux of the local anesthetic agent through the body surface without causing damage thereto; (b) a means for maintaining the system in agent and base transmitting relationship to the body surface and forming a body surface-system interface; and (c) a backing layer that serves as the outer surface of the system during use, wherein the base is effective to provide a pH within the range of about 8.0-13.0 at the body surface-system interface during administration of the local anesthetic agent.

23. The system of Claim 22 wherein the backing layer is occlusive.

24. The system of Claim 22 wherein the drug reservoir is comprised of a polymeric adhesive.

25. The system of Claim 24 wherein the polymeric adhesive serves as the means for maintaining the system in agent and base transmitting relationship to the body service.

26. The system of Claim 22 wherein the drug reservoir is comprised of a hydrogel.

27. The system of Claim 22 wherein the drug reservoir is comprised of a sealed pouch containing the local anesthetic agent and inorganic base in a liquid or semi-solid formulation.

28. The system of Claim 22 wherein the system provides for at least about 1.5-fold enhanced delivery.

29. The system of Claim 28 wherein the composition provides for at least about 3-fold enhanced delivery.

30. The system of Claim 1 wherein the base is effective to provide a pH within the range of about 8.0-11.5 at the body surface-system interface during administration of the local anesthetic agent.

31. The system of Claim 30 wherein the base is effective to provide a pH within the range of about 8.5-10.5 at the body surface-system interface during administration of the local anesthetic agent.

32. The system of Claim 22 wherein the local anesthetic agent is an acidic species.

33. The system of Claim 32 wherein the base is present in an amount that is the total of (a) the amount required to neutralize the acidic species plus (b) an amount equal to about 0.5-4.0 wt% of the drug reservoir.

34. The system of Claim 22 wherein the local anesthetic agent is a non-acidic species.

35. The system of Claim 34 wherein the base is present in an amount equal to about 0.5-4.0 wt% of the drug reservoir.

36. The system of Claim 22 wherein the base is selected from the group consisting of inorganic hydroxides, inorganic oxides, inorganic salts of weak acids, and combinations thereof.

37. The system of Claim 36 wherein the base is an inorganic hydroxide selected from the group consisting of ammonium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide and magnesium hydroxide.

38. The system of Claim 36 wherein the base is an inorganic oxide selected from the group consisting of magnesium oxide and calcium oxide.

39. The system of Claim 36 wherein the base is an inorganic salt of a weak acid selected from the group consisting of ammonium phosphate, sodium acetate, sodium borate, sodium metaborate, sodium carbonate, sodium bicarbonate, sodium phosphate, potassium carbonate, potassium bicarbonate, potassium citrate, potassium acetate, and potassium phosphate.

40. The system of Claim 22 wherein the local anesthetic agent is selected from the group consisting of benzocaine, benzyl benzoate, bupivacaine, calamine, chloroprocaine, chloroxylenol, cinchocaine, cocaine, dexivacaine, diamocaine, dibucaine, dyclonine, etidocaine, hexylcaine, ketamine, levobupivacaine, lidocaine, menthol, mepivacaine, oxethazaine, phenol, pramoxine, prilocaine, procaine, proparacaine, propoxycaine, pyrrocaine, resorcinol, risocaine, rodocaine, ropivacaine, tetracaine, troclosan, and pharmaceutically acceptable derivatives thereof, and combinations thereof.

41. The system of Claim 40 wherein the local anesthetic agent is selected from the group consisting of bupivacaine, chloroprocaine, dibucaine, etidocaine, levobupivacaine, lidocaine, mepivacaine, prilocaine, ropivacaine, tetracaine, and pharmaceutically acceptable derivatives thereof.

42. The system of Claim 22 which further comprises at least one irritation-mitigating additive.